	Type	Hits	Search Text	DBs
1	BRS	2	6403637.pn.	USPAT; US-PGPUB; EPO; JPO; DERWENT; IBM_TDB
2	BRS	50	"hmg Co-A"	USPAT; US-PGPUB; EPO; JPO; DERWENT; IBM_TDB
3	BRS	476	atorvastatin	USPAT; US-PGPUB; EPO; JPO; DERWENT; IBM_TDB
4	BRS	2892	hmg adj Coa	USPAT; US-PGPUB; EPO; JPO; DERWENT; IBM_TDB
5	BRS	101976	immuno$7	USPAT; US-PGPUB; EPO; JPO; DERWENT; IBM_TDB
6	BRS	34	(hmg adj Coa) same immuno$7	USPAT; US-PGPUB; EPO; JPO; DERWENT; IBM_TDB
7	BRS	19392	immunosupp$7	USPAT; US-PGPUB; EPO; JPO; DERWENT; IBM_TDB
8	BRS	45	immunosupp$7 same (hmg adj Coa)	USPAT; US-PGPUB; EPO; JPO; DERWENT; IBM_TDB
9	BRS	30	immunosupp$7 same lovastatin	USPAT; US-PGPUB; EPO; JPO; DERWENT; IBM_TDB
10	BRS	2	6022887.pn.	USPAT; US-PGPUB; EPO; JPO; DERWENT; IBM_TDB
11	BRS	1055	514/423.ccls.	USPAT; US-PGPUB; EPO; JPO; DERWENT; IBM_TDB

	Time Stamp	Comments	Error Definition	Errors
1	2002/08/06 17:21			0
2	2002/08/06 12:55			0
3	2002/08/06 12:56			0
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5	2002/08/06 13:12			0
6	2002/08/06 12:58			0
7	2002/08/06 13:12			0
8	2002/08/06 13:19			0
9	2002/08/06 13:19			0
10	2002/08/06 18:24			0
11	2002/08/06 18:24			0

STN Columbus

FILE 'HOME' ENTERED AT 04:56:17 ON 06 AUG 2002

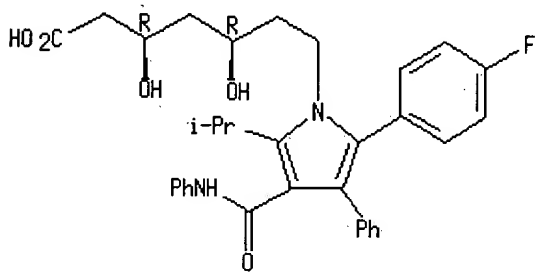
=> fil reg

=> s atorvastatin/cn
L1 1 ATORVASTATIN/CN

=> d

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS
RN 134523-00-5 REGISTRY
CN 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)-β,δ-dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-, (βR,δR)-(9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)-β,δ-dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-, [R-(R*,R*)]-
OTHER NAMES:
CN (βR,δR)-2-(p-Fluorophenyl)-β,δ-dihydroxy-5-isopropyl-3-phenyl-4-(phenylcarbamoyl)pyrrole-1-heptanoic acid
CN **Atorvastatin**
FS STEREOSEARCH
MF C33 H35 F N2 O5
CI COM
SR CA
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CIN, DDFU, DIOGENES, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, MRCK*, PHARMASEARCH, PROMT, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
Other Sources: WHO

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

523 REFERENCES IN FILE CA (1967 TO DATE)
17 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
534 REFERENCES IN FILE CAPLUS (1967 TO DATE)

=> sel rn name
E1 THROUGH E3 ASSIGNED

=> fil medl capl biosis uspatf

=> s e1-3
L2 2514 ("(.BETA.R,.DELTA.R)-2-(P-FLUOROPHENYL)-.BETA.,.DELTA.-DIHYDROXY

=> s e1-3
=>

=>
L3 2514 ("(.BETA.R,.DELTA.R)-2-(P-FLUOROPHENYL)-.BETA.,.DELTA.-DIHYDROXY-5-ISOPROPYL-3-PHENYL-4-(PHENYLCARBAMOYL)PYRROLE-1-HEPTANOIC

ACID"/BI OR ATORVASTATIN/BI OR 134523-00-5/BI)

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=> s arthrit?
L4      217891 ARTHRIT?

=> s l3 and l4
L5         124 L3 AND L4

=> s l3 (S) l4
L6           1 L3 (S) L4

=> d

L6   ANSWER 1 OF 1  USPATFULL
Full Text
AN      2002:137034  USPATFULL
TI      Methods of modulating matrix metalloproteinase activity and uses thereof
IN      Partridge, Nicola C., 8774 W. Kingsbury, St. Louis, MO, United States
        63124
PI      US 6403637          B1   20020611
AI      US 1999-370738           19990809 (9)
DT      Utility
FS      GRANTED
LN.CNT 1828
INCL    INCLM: 514/455.000
        INCLS: 514/451.000
NCL     NCLM:  514/455.000
        NCLS:  514/451.000
IC      [7]
        ICM: A61K031-35
EXF     514/455; 514/451
CAS INDEXING IS AVAILABLE FOR THIS PATENT.


=> d ibib abs kwic

L6   ANSWER 1 OF 1  USPATFULL
Full Text
ACCESSION NUMBER:        2002:137034  USPATFULL
TITLE:                   Methods of modulating matrix metalloproteinase activity
                         and uses thereof
INVENTOR(S):             Partridge, Nicola C., 8774 W. Kingsbury, St. Louis, MO,
                         United States  63124

                              NUMBER        KIND    DATE
                         ---------------- ------ --------
PATENT INFORMATION:      US 6403637          B1   20020611
APPLICATION INFO.:       US 1999-370738           19990809  (9)
DOCUMENT TYPE:           Utility
FILE SEGMENT:            GRANTED
PRIMARY EXAMINER:        Criares, Theodore J.
LEGAL REPRESENTATIVE:    Thompson Coburn, LLP
NUMBER OF CLAIMS:        27
EXEMPLARY CLAIM:         1
NUMBER OF DRAWINGS:      29 Drawing Figure(s); 19 Drawing Page(s)
LINE COUNT:              1828
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB      Methods for inactivating matrix metalloproteinases in a vertebrate cell
        are disclosed. The methods comprise administering to the cell an agent
        which causes increased endocytosis of the matrix metalloproteinase.
        Methods for treating vertebrates with disorders mediated by matrix
        metalloproteinases are also disclosed. These methods comprise
        administering the above-described agents to the vertebrate. Also
        disclosed is the use of HMG-CoA reductase inhibitors, also known as
        statins, as an agent which causes increased endocytosis of matrix
        metalloproteinases. Assays for determining whether an agent is effective
        in treating a disorder are also disclosed. These assays comprise testing
        the agent for activity in increasing endocytosis of a matrix
        metalloproteinase which mediates the disorder.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
DETD    . . . degraded collagenase-3 was indeed enhanced (by over 320%;
        p<0.02) in the presence of pravastatin, to levels approaching those seen
        for non-arthritic tissues (FIG. 24). This was seen despite only a
        modest (30%; p<0.05) increase in binding. Similar results were obtained
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using **atorvastatin**. Results were similar but less pronounced in osteoarthritis synoviocytes. Statin treatment produced no significant changes in collagenase-3 binding or degradation. . .

=> dup rem l5
PROCESSING COMPLETED FOR L5
L7 124 DUP REM L5 (0 DUPLICATES REMOVED)

=> d ibib abs kwic 120-124

L7 ANSWER 120 OF 124 USPATFULL
Full Text
ACCESSION NUMBER: 1999:75632 USPATFULL
TITLE: Substituted aminoquinolines as modulators of chemokine receptor activity
INVENTOR(S): Hagmann, William K., Westfield, NJ, United States
Springer, Martin S., Westfield, NJ, United States
PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5919776		19990706	
APPLICATION INFO.:	US 1997-993494		19971218	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Mach, D. Margaret M.
LEGAL REPRESENTATIVE: Thies, J. Eric, Rose, David L.
NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1
LINE COUNT: 1808
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention is directed to aminoquinolines of Formula I: ##STR1## (wherein R1, R2, R3, and R4 are defined herein) which are useful as modulators of chemokine receptor activity. In particular, these compounds are useful as modulators of the chemokine receptors CCR-1, CCR-2, CCR-2A, CCR-2B, CCR-3, CCR-4, CCR-5, CXCR-3, and/or CXCR-4.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM . . . of inflammatory and immunoregulatory disorders and diseases, including asthma and allergic diseases, as well as autoimmune pathologies such as rheumatoid **arthritis** and atherosclerosis. For example, the chemokine receptor CCR-3 plays a pivotal role in attracting eosinophils to sites of allergic inflammation.. . .
SUMM . . . certain inflammatory and immunoregulatory disorders and diseases, including asthma and allergic diseases, as well as autoimmune pathologies such as rheumatoid **arthritis** and atherosclerosis. The invention is also directed to pharmaceutical compositions comprising these compounds and the use of these compounds and. . .
SUMM . . . of inflammatory and immunoregulatory disorders and diseases, including asthma and allergic diseases, as well as autoimmune pathologies such as rheumatoid **arthritis** and atherosclerosis.
SUMM . . . Loeffler's syndrome, chronic eosinophilic pneumonia), delayed-type hypersentitivity, interstitial lung diseases (ILD) (e.g., idiopathic pulmonary fibrosis, or ILD associated with rheumatoid **arthritis**, systemic lupus erythematosus, ankylosing spondylitis, systemic sclerosis, Sjogren's syndrome, polymyositis or dermatomyositis); systemic anaphylaxis or hypersensitivity responses, drug allergies (e.g., to penicillin, cephalosporins), insect sting allergies; autoimmune diseases, such as rheumatoid **arthritis**, psoriatic **arthritis**, multiple sclerosis, systemic lupus erythematosus, myasthenia gravis, juvenile onset diabetes; glomerulonephritis, autoimmune thyroiditis, Behcet's disease; graft rejection (e.g., in transplantation),. . .
SUMM . . . treat inflammatory and immunoregulatory disorders and diseases, including asthma and allergic diseases, as well as autoimmune pathologies such as rheumatoid **arthritis** and atherosclerosis, and those pathologies noted above is illustrated by the combination of the compounds of this invention and other. . .
SUMM . . . especially CCR-1, CCR-2, CCR-3 and CCR-5; (j) cholesterol lowering agents such as HMG-CoA reductase inhibitors (lovastatin, simvastatin and pravastatin, fluvastatin, **atorvastatin**, and other statins), sequestrants (cholestyramine and colestipol), nicotinic acid,

fenofibric acid derivatives (gemfibrozil, clofibrat, fenofibrate and benzafibrate), and probucol; (k). . .

L7 ANSWER 121 OF 124 USPATFULL
Full Text
ACCESSION NUMBER: 1998:98932 USPATFULL
TITLE: DHA-pharmaceutical agent conjugates of taxanes
INVENTOR(S): Shashoua, Victor E., Brookline, MA, United States
Swindell, Charles S., Merion, PA, United States
Webb, Nigel L., Bryn Mawr, PA, United States
Bradley, Matthews O., Laytonsville, MD, United States
PATENT ASSIGNEE(S): Neuromedica, Inc., Conshohocken, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5795909		19980818	
APPLICATION INFO.:	US 1996-651312		19960522	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Jarvis, William R. A.
LEGAL REPRESENTATIVE: Wolf, Greenfield & Sacks, P.C.
NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 27 Drawing Figure(s); 14 Drawing Page(s)
LINE COUNT: 2451
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention provides conjugates of cis-docosahexaenoic acid and taxanes useful in treating cell proliferative disorders. Conjugates of paclitaxel and docetaxel are preferred.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM . . . androgen; anesthesia, adjunct to; anesthetic; anorectic; antagonist; anterior pituitary suppressant; anthelmintic; antiacne agent; anti-adrenergic; anti-allergic; anti-amebic; anti-androgen; anti-anemic; antianginal; anti-anxiety; anti-**arthritic**; anti-asthmatic; anti-atherosclerotic; antibacterial; anticholelithic; anticholelithogenic; anticholinergic; anticoagulant; anticoccidal; anticonvulsant; antidepressant; antidiabetic; antidiarrheal; antidiuretic; antidote; anti-emetic; anti-epileptic; anti-estrogen; antifibrinolytic; antifungal; antiglaucoma. . .
DETD Anti-**arthritic**: Lodelaben.
DETD Inhibitor: Acarbose; **Atorvastatin** Calcium; Benserazide; Brocresine; Carbidopa; Clavulanate Potassium; Dazmegrel; Docebenone; Epoprostenol; Epoprostenol Sodium; Epristeride; Finasteride; Flurbiprofen Sodium; Furegrelate Sodium; Lufironil; Miglitol; Orlistat;. . .
DETD . . . adrenocortical steroid; adrenocortical suppressant; aldosterone antagonist; amino acid; anabolic; androgen; antagonist; anthelmintic; anti-acne agent; anti-adrenergic; anti-allergic; anti-amebic; anti-androgen; anti-anemic; anti-anginal; anti-**arthritic**; anti-asthmatic; anti-atherosclerotic; antibacterial; anticholelithic; anticholelithogenic; anticholinergic; anticoagulant; anticoccidal; antidiabetic; antidiarrheal; antidiuretic; antidote; anti-estrogen; antifibrinolytic; antifungal; antiglaucoma agent; antihemophilic; antihemorrhagic; antihistamine;. . .

L7 ANSWER 122 OF 124 USPATFULL
Full Text
ACCESSION NUMBER: 1998:48446 USPATFULL
TITLE: Chroman derivatives as anti-oxidants
INVENTOR(S): Trivedi, Bharat Kakidas, Farmington Hills, MI, United States
PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5747528		19980505	
APPLICATION INFO.:	US 1997-788534		19970124	(8)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-12023P	19960221 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted

PRIMARY EXAMINER: Northington-Davis, Zinna
LEGAL REPRESENTATIVE: Anderson, Elizabeth M.
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
LINE COUNT: 781
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Chroman derivatives of Formula I or a pharmaceutically acceptable salt thereof are inhibitors of VCAM-1 and ICAM-1 and are thus useful in the treatment of inflammation, atherosclerosis, restenosis, and immune disorders such as **arthritis** and transplant rejection ##STR1## wherein: R=Hydrogen or phenyl;

R2 =Hydrogen or lower alkyl of from 1-4 carbon atoms;

X=Oxygen or Sulfur;

Y=(CH2)n, --NR' where R' is hydrogen, alkyl of from 1 to 12 carbon atoms or aryl of from 6 to 10 carbon atoms, or Z; and Z is an alkyl or aryl containing moiety.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB . . . of VCAM-1 and ICAM-1 and are thus useful in the treatment of inflammation, atherosclerosis, restenosis, and immune disorders such as **arthritis** and transplant rejection ##STR1## wherein: R=Hydrogen or phenyl;
SUMM . . . present invention relates to novel compounds and medical methods of treatment of inflammation, atherosclerosis, restenosis, and immune disorders such as **arthritis** and transplant rejection. More particularly, the present invention concerns the use of chroman derivatives.
SUMM . . . to vascular endothelium represents an early event in pathologies involving chronic inflammation. These include atherosclerosis, restenosis, and immune disorders like **arthritis** and transplant rejection. The adhesion of monocytes to endothelium is mediated by expression of cell-surface molecules on endothelial cells. These. . .
SUMM . . . and ICAM-1 and are thus useful as agents for the treatment of inflammation, atherosclerosis, restenosis, and immune disorders such as **arthritis** and transplant rejection.
SUMM . . . disclosed in U.S. Pat. No. 4,346,227; simvastatin disclosed in U.S. Pat. No. 4,444,784; fluvastatin disclosed in U.S. Pat. No. 4,739,073; **atorvastatin** disclosed in U.S. Pat. Nos. 4,681,893 and 5,273,995; and the like. U.S. Pat. Nos. 4,231,938, 4,346,227, 4,444,784, 4,681,893, 4,739,073, and. . .
SUMM The chromans are valuable agents for the treatment of inflammation, atherosclerosis, restenosis, and immune disorders such as **arthritis** and transplant rejection. The tests employed indicate that the compounds possess activity against VCAM-1 and ICAM-1.
SUMM In therapeutic use as agents for the treatment of atherosclerosis, restenosis, and immune disorders such as **arthritis** and transplant rejection, the compounds utilized in the pharmaceutical methods of this invention are administered at the initial dosage of. . .

L7 ANSWER 123 OF 124 USPATFULL
Full Text
ACCESSION NUMBER: 96:70580 USPATFULL
TITLE: Methods of preparing α-phosphonosulfinate squalene synthetase inhibitors
INVENTOR(S): Lawrence, R. Michael, 48 W. Crown Ter., Yardley, PA, United States 19067
Biller, Scott A., 136 Nancy La., Ewing, NJ, United States 08638
Fryszman, Olga M., 63 Riverside Dr., Princeton, NJ, United States 08540

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5543542		19960806
APPLICATION INFO.:	US 1995-445604		19950522 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-295121, filed on 24 Aug 1994, now patented, Pat. No. US 5447922		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Richter, Johann		
ASSISTANT EXAMINER:	Ambrose, Michael G.		

LEGAL REPRESENTATIVE: Rodney, Burton
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1,2,3
LINE COUNT: 1258
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB α-Phosphonosulfinate compounds are provided which inhibit the enzyme squalene synthetase and thereby inhibit cholesterol biosynthesis. These compounds have the formula ##STR1## wherein R2 is OR5 or R5a ; R3 and R5 are independently H, alkyl, arylalkyl, aryl or cycloalkyl; R5a is alkyl, arylalkyl or aryl; R4 is H or a pharmaceutically acceptable cation; Z is H, halogen, lower alkyl or lower alkenyl; and R1 is a lipophilic group which contains at least 7 carbons and is alkyl, alkenyl, alkynyl, mixed alkenyl-alkynyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, cycloheteroalkyl, cycloheteroalkylalkyl; including pharmaceutically acceptable salts.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . lowering blood pressure, lowering blood sugar, treating diabetes mellitus, treating inflammation, as a diuretic, as an inotropic agent, as an anti-**arthritic** (antirheumatic) agent, in treating other diseases of calcium and phosphate metabolism including treatment of bone resorption, Paget's disease, osteoporosis, calcification. . .

SUMM . . . and/or antiatherosclerotic agent such as one or more HMG CoA reductase inhibitors, for example, pravastatin, lovastatin, simvastatin, velostatin, fluvastatin, rivastatin, **atorvastatin**, compactin, SDZ-63,370 (Sandoz), CI-981 (W-L), HR-780, L-645,164, CL-274,471, dalvastatin, α-, β-, and γ-tocotrienol, (3R, 5S, 6E)-9,9-bis(4-fluorophenyl)-3,5-dihydroxy-8-(1-methyl-1H-tetrazol-5-yl)-6,8-nonadienoic acid, L-arginine salt, (S)-4-[[2-[4-(4-fluorophenyl)-5-methyl-2-(1-methylethyl)-6-phenyl-3-pyridinyl]ethenyl]hydroxy-phosphinyl]-3-hydroxy-butanoic. . .

L7 ANSWER 124 OF 124 USPATFULL
Full Text
ACCESSION NUMBER: 95:80293 USPATFULL
TITLE: α-phosphonosulfinic squalene synthetase inhibitors
INVENTOR(S): Lawrence, R. Michael, Yardley, PA, United States
Biller, Scott A., Ewing, NJ, United States
Fryszman, Olga M., Princeton, NJ, United States
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, Princeton, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5447922		19950905	
APPLICATION INFO.:	US 1994-295121		19940824	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ramsuer, Robert W.
ASSISTANT EXAMINER: Ambrose, Michael G.
LEGAL REPRESENTATIVE: Rodney, Burton
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
LINE COUNT: 1319
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB α-Phosphonosulfinate compounds are provided which inhibit the enzyme squalene synthetase and thereby inhibit cholesterol biosynthesis. These compounds have the formula ##STR1## wherein R2 is OR5 or R5a ; R3 and R5 are independently H, alkyl, arylalkyl, aryl or cycloalkyl; R5a is alkyl, arylalkyl or aryl; R4 is H or pharmaceutically acceptable cation;, Z is H, halogen, lower alkyl or lower alkenyl; and R1 is a lipophilic group which contains at least 7 carbons and is alkyl, alkenyl, alkynyl, mixed alkenyl-alkynyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, cycloheteroalkyl, cycloheteroalkylalkyl; as further defined above; including pharmaceutically acceptable salts.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . lowering blood pressure, lowering blood sugar, treating diabetes mellitus, treating inflammation, as a diuretic, as an inotropic agent, as an anti-**arthritic** (antirheumatic) agent, in treating other diseases of calcium and phosphate metabolism including treatment of bone

resorption, Paget's disease, osteoporosis, calcification. . .
SUMM . . . and/or antiatherosclerotic agent such as one or more HMG CoA reductase inhibitors, for example, pravastatin, lovastatin, simvastatin, velostatin, fluvastatin, rivastatin, **atorvastatin**, compactin, SDZ-63,370 (Sandoz), CI-981 (W-L) , HR-780, L-645,164, CL-274,471, dalvastatin, α-, β-, and γ-tocotrienol, (3R,5S,6E)-9,9-bis(4-fluorophenyl) -3,5-dihydroxy-8-(1-methyl-1H-tetrazol-5-yl)-6,8-nonadienoic acid, L-arginine salt, (S)-4-[[2-[4-(4-fluorophenyl). . .

=> s HMG-CoA
L8 14169 HMG-COA

=> s l8 and l4
L9 223 L8 AND L4

=> s l8 (S) l4
L10 23 L8 (S) L4

=> dup rem l10
PROCESSING COMPLETED FOR L10
L11 23 DUP REM L10 (0 DUPLICATES REMOVED)

=> d ibib abs kwic 20-23

L11 ANSWER 20 OF 23 USPATFULL
Full Text
ACCESSION NUMBER: 85:65150 USPATFULL
TITLE: Protease inhibitors
INVENTOR(S): Mueller, Richard A., Glencoe, IL, United States
Partis, Richard A., Evanston, IL, United States
PATENT ASSIGNEE(S): G. D. Searle & Co., Skokie, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4551279		19851105
APPLICATION INFO.:	US 1984-569089		19840109 (6)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Warren, Charles F.
ASSISTANT EXAMINER: Flaherty, Elizabeth A.
LEGAL REPRESENTATIVE: McDonnell, John J.
NUMBER OF CLAIMS: 13
EXEMPLARY CLAIM: 1
LINE COUNT: 899
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to methods of preventing or reducing the degradation of elastin and other proteins and thereby preventing or retarding the disease states caused by said degradation by administering compounds, some of which are novel, of the formula: ##STR1## or their pharmacologically acceptable salts.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM During periods of active rheumatoid **arthritis**, vast numbers of human neutrophils are attracted to diseased joints where they engage in phagocytosis of locally generated immune complexes. . . also be useful in the treatment of other enzyme related diseases, such as fribrosis related to prolylhydroxylase, hypercholesterolemia related to **HMG CoA** reductase, inflammatory bowel diseases and the like. The compound are in addition cytoprotective. This invention is not limited to these. . .

L11 ANSWER 21 OF 23 USPATFULL
Full Text
ACCESSION NUMBER: 85:11975 USPATFULL
TITLE: [Halo-4-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-phenyl]octadecan-ols and -ones
INVENTOR(S): Mueller, Richard A., Glencoe, IL, United States
Partis, Richard A., Evanston, IL, United States
PATENT ASSIGNEE(S): G.D. Searle & Co., Skokie, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE

PATENT INFORMATION:	US 4501895	19850226
APPLICATION INFO.:	US 1984-627324	19840702 (6)
RELATED APPLN. INFO.:	Division of Ser. No. US 1983-492843, filed on 9 May 1983, now patented, Pat. No. US 4469885	
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Daus, Donald G.	
ASSISTANT EXAMINER:	Gibson, S. A.	
LEGAL REPRESENTATIVE:	McDonnell, John J.	
NUMBER OF CLAIMS:	6	
EXEMPLARY CLAIM:	1	
LINE COUNT:	640	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to novel compounds for preventing or retarding the degradation of elastin or other proteins and therefore preventing or retarding the disease states caused by said degradation, of the formula: ##STR1## or its pharmacologically acceptable salts. The invention also relates to novel methods and intermediates for making the compounds. The intermediate compound being of the formula: ##STR2## wherein R2 is (a) halogen; or

(b) trifluoromethyl;

wherein R3 is

(a) --C(O)R4 ;

(b) --CH(OH)R4 ;

(c) --CH2 R 4; or

(d) --CH.dbd.CHR4 ;

wherein R4 is alkyl or 13 to 25 carbon atoms inclusive, and the pharmacologically acceptable base addition salts thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM During periods of active rheumatoid **arthritis**, vast numbers of human neutrophils are attracted to diseased joints where they engage in phagocytosis of locally generated immune complexes. . . also be useful in the treatment of other enzyme related diseases, such as fibrosis related to prolylhydroxylase, hypercholesterolemia related to **HMG CoA** reductase, and the like. This invention is not limited to these examples. One skilled in the art could readily use. . .

L11 ANSWER 22 OF 23 USPATFULL

Full Text

ACCESSION NUMBER:	85:9175 USPATFULL	
TITLE:	Protease inhibitors	
INVENTOR(S):	Mueller, Richard A., Glencoe, IL, United States Partis, Richard A., Evanston, IL, United States	
PATENT ASSIGNEE(S):	G. D. Searle & Co., Skokie, IL, United States (U.S. corporation)	

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4499295		19850212
APPLICATION INFO.:	US 1983-492842		19830509 (6)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Killos, Paul J.		
LEGAL REPRESENTATIVE:	McDonnell, John J.		
NUMBER OF CLAIMS:	16		
EXEMPLARY CLAIM:	1		
LINE COUNT:	524		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to methods of preventing or reducing the degradation of elastin and other proteins and thereby preventing or retarding the disease states caused by said degradation by administering compounds of the formula: ##STR1## or their pharmacologically acceptable salts.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM During periods of active rheumatoid **arthritis**, vast numbers of human

neutrophils are attracted to diseased joints where they engage in phagocytosis of locally generated immune complexes. . . also be useful in the treatment of other enzyme related diseases, such as fibrosis related to prolylhydroxylase, hypercholesterolemia related to **HMG CoA** reductase, and the like. This invention is not limited to these examples as one skilled in the art could readily. . .

L11 ANSWER 23 OF 23 USPATFULL
Full Text
ACCESSION NUMBER: 84:50007 USPATFULL
TITLE: Halogenated protease inhibitors
INVENTOR(S): Mueller, Richard A., Glencoe, IL, United States
Partis, Richard A., Evanston, IL, United States
PATENT ASSIGNEE(S): G. D. Searle & Co., Skokie, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4469885		19840904	
APPLICATION INFO.:	US 1983-492843		19830509	(6)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Killos, Paul J.
LEGAL REPRESENTATIVE: McDonnell, John J.
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 635
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to novel compounds for preventing or retarding the degradation of elastin or other proteins and therefore preventing or retarding the disease states caused by said degradation, of the formula: ##STR1## or its pharmacologically acceptable salts. The invention also relates to novel methods and intermediates for making the compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM During periods of active rheumatoid **arthritis**, vast numbers of human neutrophils are attracted to diseased joints where they engage in phagocytosis of locally generated immune complexes. . . also be useful in the treatment of other enzyme related diseases, such as fibrosis related to prolylhydroxylase, hypercholesterolemia related to **HMG CoA** reductase, and the like. This invention is not limited to these examples. One skilled in the art could readily use. . .

=> d ibib abs kwic 15-19

L11 ANSWER 15 OF 23 USPATFULL
Full Text
ACCESSION NUMBER: 1998:85784 USPATFULL
TITLE: Screening natural samples for new therapeutic compounds using capillary electrophoresis
INVENTOR(S): Hughes, Dallas E., Dover, MA, United States
Karger, Barry L., Newton, MA, United States
PATENT ASSIGNEE(S): Northeastern University, Boston, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5783397		19980721	
APPLICATION INFO.:	US 1996-662085		19960612	(8)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1995-503P	19951211 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Feisee, Lila
ASSISTANT EXAMINER: Ungar, Susan
LEGAL REPRESENTATIVE: Weingarten, Schurgin, Gagnebin & Hayes LLP
NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 33 Drawing Figure(s); 12 Drawing Page(s)
LINE COUNT: 1077
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A method in which natural sample components are simultaneously

fractionated and screened for compounds that bind tightly to specific molecules of interest is disclosed. Such newly isolated ligands are good candidates for potential therapeutic or diagnostic compounds. The natural sample is first combined with a potential target molecule and then subjected to capillary electrophoresis (CE). Charged (or even neutral) compounds present in the natural sample that bind to the added target molecule can alter its normal migration time upon CE, by changing its charge-to-mass ratio, or will cause a variation in peak shape or area. Complex formation can be detected by simply monitoring the migration of the target molecule during electrophoresis. Any new ligands that bind to the target molecule will be good candidates for therapeutic or diagnostic compounds. Interfering, weak-binding ligands commonly present in crude extracts are not detected. Small, neutral ligands, as well as charged ligands, can be identified in competitive binding experiments with known, charged competitor molecules.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
DETD

Molecular Target	Associated Disease(s)
HIV reverse transcriptase	AIDS
HIV protease	AIDS
Carbonic anhydrase	Glaucoma
Tubulin	Cancer
Thrombin	Blood clots
HMG-CoA reductase	High cholesterol
Elastase	Emphysema, Rh. **arthritis**
Cyclooxygenase	Inflammation
p56, p59 tyrosine kinases	Cancer
Topoisomerases	Cancer

L11 ANSWER 16 OF 23 USPATFULL
Full Text

ACCESSION NUMBER:	96:70200 USPATFULL
TITLE:	Controlled release nifedipine delivery device
INVENTOR(S):	Rork, Gerald S., Lawrence, KS, United States Pipkin, James D., Lawrence, KS, United States
PATENT ASSIGNEE(S):	Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5543154		19960806
APPLICATION INFO.:	US 1994-327083		19941021 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1993-118836, filed on 8 Sep 1993, now patented, Pat. No. US 5366738 which is a continuation of Ser. No. US 1992-902188, filed on 29 Jul 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-815304, filed on 27 Dec 1991, now abandoned

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Phelan, D. Gabrielle
LEGAL REPRESENTATIVE:	Bigley, Francis P., Daniel, Mark R.
NUMBER OF CLAIMS:	16
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	7 Drawing Figure(s); 7 Drawing Page(s)
LINE COUNT:	933

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A device for the controlled delivery of a beneficial agent as a gelatinous dispersion consisting of (i) a core which contains a beneficial agent, a polymer which forms gelatinous micoroscopic particles upon hydration and if desired an agent to modulate the hydration of the polymer; and (ii) an impermeable, insoluble coating which adheres to and surrounds the core and contains apertures which provide an area for the hydration and release of a disperson comprising gelatinous microscopic particles.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
DETD In the following examples the hydroxymethyl-glutaryl-coenzyme A reductase inhibitors (**HMG CoA** reductase inhibitors) simvastatin and lovastatin are used as model drugs. These drugs are highly effective in

the reduction of serum. . . at 20° C. The generation of a dispersion, in situ, from the components of a solid core is disclosed. The anti-**arthritic**, indomethacin and the analgesic, acetaminophen serve as examples of beneficial agents which are deliverable with this device. This permits the. . .

L11 ANSWER 17 OF 23 USPATFULL
Full Text
ACCESSION NUMBER: 94:102004 USPATFULL
TITLE: Controlled release drug dispersion delivery device
INVENTOR(S): Rork, Gerald S., Lawrence, KS, United States
Pipkin, James D., Lawrence, KS, United States
PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5366738		19941122
APPLICATION INFO.:	US 1993-118836		19930908 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1982-902188, filed on 29 Jul 1982, now abandoned which is a continuation-in-part of Ser. No. US 1991-815304, filed on 27 Dec 1991, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Phelan, D. Gabrielle
LEGAL REPRESENTATIVE: Bigley, Francis P., Daniel, Mark R., DiPrima, Joseph F.
NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 7 Drawing Figure(s); 7 Drawing Page(s)
LINE COUNT: 887
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A device for the controlled delivery of a beneficial agent as a gelatinous dispersion consisting of (i) a core which contains a beneficial agent, a polymer which forms gelatinous microscopic particles upon hydration and if desired an agent to modulate the hydration of the polymer; and (ii) an impermeable, insoluble coating which adheres to and surrounds the core and contains apertures which provide an area for the hydration and release of a dispersion comprising gelatinous microscopic particles.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
DETD In the following examples the hydroxymethyl-glutarylcoenzyme A reductase inhibitors (**HMG CoA** reductase inhibitors) simvastatin and lovastatin are used as model drugs. These drugs are highly effective in the reduction of serum. . . at 20° C. The generation of a dispersion, in situ, from the components of a solid core is disclosed. The anti-**arthritic**, indomethacin and the analgesic, acetaminophen serve as examples of beneficial agents which are deliverable with this device. This permits the. . .

L11 ANSWER 18 OF 23 USPATFULL
Full Text
ACCESSION NUMBER: 87:63743 USPATFULL
TITLE: Protease inhibitors
INVENTOR(S): Mueller, Richard A., Glencoe, IL, United States
Partis, Richard A., Evanston, IL, United States
PATENT ASSIGNEE(S): G. D. Searle & Co., Chicago, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4692552		19870908
APPLICATION INFO.:	US 1986-831238		19860218 (6)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1984-664447, filed on 24 Oct 1984 which is a continuation of Ser. No. US 1983-492842, filed on 9 May 1983, now patented, Pat. No. US 4499295
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Killos, Paul J.
LEGAL REPRESENTATIVE: Kanady, Mary Jo, Matukaitis, Paul D.
NUMBER OF CLAIMS: 2
EXEMPLARY CLAIM: 1
LINE COUNT: 492

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to methods of preventing or reducing the degradation of elastin and other proteins and thereby preventing or retarding the disease states caused by said degradation by administering compounds of the formula: ##STR1## or their pharmacologically acceptable salts.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM During periods of active rheumatoid **arthritis**, vast numbers of human neutrophils are attracted to diseased joints where they engage in phagocytosis of locally generated immune complexes. . . also be useful in the treatment of other enzyme related diseases, such as fribrosis related to prolylhydroxylase, hypercholesterolemia related to **HMG CoA** reductase, and the like. This invention is not limited to these examples as one skilled in the art could readily. . .

L11 ANSWER 19 OF 23 USPATFULL
Full Text
ACCESSION NUMBER: 86:23528 USPATFULL
TITLE: Protease inhibitors
INVENTOR(S): Mueller, Richard A., Glencoe, IL, United States
Partis, Richard A., Evanston, IL, United States
PATENT ASSIGNEE(S): G. D. Searle & Co., Skokie, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4584397		19860422
APPLICATION INFO.:	US 1984-664447		19841024 (6)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1983-492842, filed on 9 May 1983, now patented, Pat. No. US 4495295
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Killos, Paul J.
LEGAL REPRESENTATIVE: Odre, Steven M., Melton, Stuart L.
NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 492
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to methods of preventing or reducing the degradation of elastin and other proteins and thereby preventing or retarding the disease states caused by said degradation by administering compounds of the formula: ##STR1## or their pharmacologically acceptable salts.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM During periods of active rheumatoid **arthritis**, vast numbers of human neutrophils are attracted to diseased joints where they engage in phagocytosis of locally generated immune complexes. . . also be useful in the treatment of other enzyme related diseases, such as fibrosis related to prolylhydroxylase, hypercholesterolemia related to **HMG CoA** reductase, and the like. This invention is not limited to these examples as one skilled in the art could readily. . .

=> s inflam?
L12 648033 INFLAM?

=> s l12 and l8
L13 893 L12 AND L8

=> dup rem l13
PROCESSING COMPLETED FOR L13
L14 733 DUP REM L13 (160 DUPLICATES REMOVED)

=> s l12 (S) l8
L15 335 L12 (S) L8

=> dup rem l15
PROCESSING COMPLETED FOR L15
L16 238 DUP REM L15 (97 DUPLICATES REMOVED)

=> s treat? or therap?
3 FILES SEARCHED...
L17 8905492 TREAT? OR THERAP?

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=> s l17 and l16
L18        199 L17 AND L16

=> d ibib abs kwic 195-199

L18  ANSWER 195 OF 199  USPATFULL
Full Text
ACCESSION NUMBER:        86:23528  USPATFULL
TITLE:                   Protease inhibitors
INVENTOR(S):             Mueller, Richard A., Glencoe, IL, United States
                         Partis, Richard A., Evanston, IL, United States
PATENT ASSIGNEE(S):      G. D. Searle & Co., Skokie, IL, United States (U.S.
                         corporation)

                              NUMBER        KIND    DATE
                         ---------------- ------ --------
PATENT INFORMATION:      US 4584397               19860422
APPLICATION INFO.:       US 1984-664447           19841024  (6)
RELATED APPLN. INFO.:    Continuation of Ser. No. US 1983-492842, filed on 9 May
                         1983, now patented, Pat. No. US 4495295
DOCUMENT TYPE:           Utility
FILE SEGMENT:            Granted
PRIMARY EXAMINER:        Killos, Paul J.
LEGAL REPRESENTATIVE:    Odre, Steven M., Melton, Stuart L.
NUMBER OF CLAIMS:        3
EXEMPLARY CLAIM:         1
LINE COUNT:              492
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB     This invention relates to methods of preventing or reducing the
       degradation of elastin and other proteins and thereby preventing or
       retarding the disease states caused by said degradation by administering
       compounds of the formula: ##STR1## or their pharmacologically acceptable
       salts.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM   . . . broadest aspect relates to protease inhibitors. In one aspect,
       the invention relates to certain novel methods useful in preventing or
       treating disease states caused by the degradative action of proteases
       on mammalian elastin and other proteins by administration of effective
       amounts.  . . elastase and cathepsin G. In other aspect, it relates to
       compounds of Formula I which are useful in preventing or treating
       disease states caused by the degradative action of proteases on
       mammalian elastin and other proteins.
SUMM   . . . al., New England Journal of Medicine, 306: 900-909, (1982). By
       inhibiting elastase therefore it is possible to mediate, eliminate or
       treat a wide variety of disease conditions.
SUMM   . . . and can react with good nucleophiles such as the thiol groups
       of glutathione and various proteins. During any long term treatment
       with these inhibitors, such non-specific alkylation could lead to the
       introduction of new antigenetic determinants and an autoimmune response
       and/or.  . .
SUMM   The treatment of certain disease states by inhibitors of elastase is
       known as described above. One compound useful in practicing the method.
       . .
SUMM   . . . in a number of disease states, a compound which blocks the
       action of elastase will be useful in the management, treatment and
       prevention of such diseases. Elastase, in addition to degrading elastin,
       also will hydrolyse methoxysuccinyl-ala-ala-pro-val-nitroanalide (MSN),
       a highly selective synthetic.  . .
SUMM   Drug treatment was oral, once daily in 0.5 ml carboxymethyl cellulose
       from day 0 until sacrifice:
SUMM   . . . synergistic process with cathepsin G. Cathepsin G also causes
       conversion of angiotensin I to angiotensin II which is associated with
       inflammatory processes, Reilley, C. F., et al., J. Biol. Chem., 257,
       8619 (1982) and angiotensinogen to angiotensin II, Tonnesen, M. G.,.  .
       . al., J. Biol. Chem. 256, 10256 (1981). In a like manner, adult
       respiratory-distress syndrome, certain skin diseases, aging, and certain
       inflammatory processes where the disease state is connected with the
       localized breakdown of protein by elastase could be treated by
       elastase inhibitors, such as the compounds of this invention. For
       example, degradation of fibronectin, an important biological substance,
       could.  . . J. A., and D. G. Kelley, J. Biol. Chem., 255, 8848 (1980).
       The compounds may also be useful in the treatment of other enzyme
       related diseases, such as fibrosis related to prolylhydroxylase,
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hypercholesterolemia related to **HMG CoA** reductase, and the like. This invention is not limited to these examples as one skilled in the art could readily. . .

SUMM . . . be introduced in the forms of eyedrops, intraperitoneally, subcutaneously, or intramuscularly using forms known to the pharmaceutical art. For the **treatment** of inflammatory skin diseases, the compounds of the present invention may also be administered topically in the form of ointments,. . .

SUMM An effective but non-toxic quantity of the compound is employed in **treatment**. The dosage regimen for elastase inhibition by the compounds of this invention is selected in accordance with a variety of. . .

L18 ANSWER 196 OF 199 USPATFULL
Full Text

ACCESSION NUMBER:	85:65150 USPATFULL		
TITLE:	Protease inhibitors		
INVENTOR(S):	Mueller, Richard A., Glencoe, IL, United States Partis, Richard A., Evanston, IL, United States		
PATENT ASSIGNEE(S):	G. D. Searle & Co., Skokie, IL, United States (U.S. corporation)		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4551279		19851105
APPLICATION INFO.:	US 1984-569089		19840109 (6)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Warren, Charles F.		
ASSISTANT EXAMINER:	Flaherty, Elizabeth A.		
LEGAL REPRESENTATIVE:	McDonnell, John J.		
NUMBER OF CLAIMS:	13		
EXEMPLARY CLAIM:	1		
LINE COUNT:	899		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to methods of preventing or reducing the degradation of elastin and other proteins and thereby preventing or retarding the disease states caused by said degradation by administering compounds, some of which are novel, of the formula: ##STR1## or their pharmacologically acceptable salts.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . broadest aspect relates to protease inhibitors. In one aspect, the invention relates to certain novel methods useful in preventing or **treating** disease states caused by the degradative action of proteases on mammalian elastin and other proteins by administration of effective amounts. . . elastase and cathepsin G. In other aspect, it relates to compounds of Formula I which are useful in preventing or **treating** disease states caused by the degradative action of proteases on mammalian elastin and other proteins.

SUMM . . . et al., New England Journal of Medicine, 306:900-909, (1982). By inhibiting elastase therefore it is possible to mediate, eliminate or **treat** a wide variey of disease conditions.

SUMM . . . and can react with good nucleophiles such as the thiol groups of glutathione and various proteins. During any long term **treatment** with these inhibitors, such non-specific alkylation could lead to the introduction of new antigenetic determinants and an autoimmune response and/or. . .

SUMM The **treatment** of certain disease states by inhibitors of elastase is known as described above.

SUMM . . . in a number of disease states, a compound which blocks the action of elastase will be useful in the management, **treatment** and prevention of such diseases. Elastase, in addition to degrading elastin, also will hydrolyse methoxysuccinyl-ala-ala-pro-val-nitroanalide (MSN), a highly selective synthetic. . .

SUMM Drug **treatment** was oral, once daily in 0.5 ml carboxymethyl cellulose from day 0 until sacrifice:

SUMM . . . synergistic process with cathepsin G. Cathepsin G also causes conversion of angiotensin I to angiotensin II which is associated with **inflammatory** processes, Reilley, C. F., et al., J. Biol. Chem., 257, 8619 (1982) and angiotensinogen to angiotensin II, Tonnesen, M. G.,. . . al., J. Biol. Chem. 256, 10256 (1981). In a like manner, adult respiratory-distress syndrome, certain skin diseases, aging, and certain **inflammatory** processes where the disease state is connected with the localized breakdown of protein by elastase could be **treated** by elastase inhibitors, such as the compounds of this invention. For

example, degradation of fibronectin, an important biological substance, could. . . J. A., and D. G. Kelley, J. Biol. Chem., 255, 8848 (1980). The compounds may also be useful in the **treatment** of other enzyme related diseases, such as fribrosis related to prolylhydroxylase, hypercholesterolemia related to **HMG CoA** reductase, **inflammatory** bowel diseases and the like. The compound are in addition cytoprotective. This invention is not limited to these examples as. . .

SUMM . . . be introduced in the forms of eyedrops, intraperitoneally, subcutaneously, or intramuscularly using forms known to the pharmaceutical art. For the **treatment** of inflammatory skin diseases, the compounds of the present invention may also be administered topically in the form of ointments,. . .

SUMM An effective but non-toxic quantity of the compound is employed in **treatment**. The dosage regimen for elastase inhibition by the compounds of this invention is selected in accordance with a variety of. . .

DETD . . . acid (1 N.; 200 ml) was added to the residue with stirring. The hydrochloric acid was decanted and the residue **treated** with hot ethyl acetate (150 ml). After filtering off insoluble material, the solvent was removed by a rotary evaporator. The. . .

DETD . . . residue was dissolved in hot toluene, filtered and cooled. A white solid methyl-2-hydroxy-5-nitro-benzoate was isolated by filtration. This material was **treated** with acetic anhydride (20 ml) and sulfuric acid (8 drops) at 50° C. for 1 hour. The reaction was cooled,. . .

DETD **Treatment** of the product of Example 51 with lithium hydroxide monohydrate in methanol-water and work-up in the usual manner gave the. . .

DETD **Treatment** of the product of Example 52 with sodium hydroxide in hot methanol-water and work-up in the usual manner gas the. . .

DETD 3.0 g of oleoyl chloride; 1.58 g of 5-aminosalyclic acid and 1.4 ml of triethylamine were **treated** in Example 51 to give the title compound m.p. ca. 179°-183° C.

DETD 0.5 g of the product from Example 55 was **treated** with hydrogen and palladium on carbon in solvent. The solvent was removed under a stream of nitrogen. The residue was. . .

DETD The material of Example 66 (16 g) was **treated** in the same manner as Example 66 to give the title compound. Its identity was confirmed by NMR, CMR, and. . .

DETD The material from Example 67 was **treated** with methyl alcohol (300 ml), lithium hydroxide monohydrate (6.3 g) and water (100 ml) and stirred for about 18 hrs.. . .

DETD The material from Example 68 (0.007 mole) in cold benzene (40 ml) was **treated** with oxalyl chloride (0.007 mole). After stirring at room temperature for about 4.5 hrs. the solvent was removed on a rotary evaporator. The acid chloride was **treated** with 5-aminosalicylic acid in the manner of Example 23.

L18 ANSWER 197 OF 199 USPATFULL

Full Text

ACCESSION NUMBER: 85:11975 USPATFULL

TITLE: [Halo-4-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-phenyl]octadecan-ols and -ones

INVENTOR(S): Mueller, Richard A., Glencoe, IL, United States
Partis, Richard A., Evanston, IL, United States

PATENT ASSIGNEE(S): G.D. Searle & Co., Skokie, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4501895		19850226
APPLICATION INFO.:	US 1984-627324		19840702 (6)

RELATED APPLN. INFO.: Division of Ser. No. US 1983-492843, filed on 9 May 1983, now patented, Pat. No. US 4469885

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted

PRIMARY EXAMINER: Daus, Donald G.

ASSISTANT EXAMINER: Gibson, S. A.

LEGAL REPRESENTATIVE: McDonnell, John J.

NUMBER OF CLAIMS: 6

EXEMPLARY CLAIM: 1

LINE COUNT: 640

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to novel compounds for preventing or retarding the degradation of elastin or other proteins and therefore preventing or

retarding the disease states caused by said degradation, of the formula: ##STR1## or its pharmacologically acceptable salts. The invention also relates to novel methods and intermediates for making the compounds. The intermediate compound being of the formula: ##STR2## wherein R2 is
(a) halogen; or

(b) trifluoromethyl;

wherein R3 is

(a) --C(O)R4 ;

(b) --CH(OH)R4 ;

(c) --CH2 R 4; or

(d) --CH.dbd.CHR4 ;

wherein R4 is alkyl or 13 to 25 carbon atoms inclusive, and the pharmacologically acceptable base addition salts thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . aspect, relates to enzyme inhibitors. In particular, it relates to compounds of Formula I which are useful in preventing or **treating** disease states caused by the action of proteases and other enzymes on mammalian elastin or other proteins. More particularly, the invention relates to certain novel compounds useful in preventing or **treating** disease states caused by the degradative action of elastases or cathepsin G. In another aspect, it relates to novel intermediates. . .

SUMM . . . al., New England Journal of Medicine, 306: 900-909, (1982). By inhibiting elastase therefore it is possible to mediate, eliminate or **treat** a wide variety of disease conditions.

SUMM . . . and can react with good necleophiles such as the thiol groups of glutathione and various proteins. During any long term **treatment** with these inhibitors, such non-specific alkylation could lead to the introduction of new antigenetic determinants and an autoimmune response and/or. . .

SUMM The **treatment** of certain disease states by inhibitors of elastase is known as described above.

SUMM . . . in a number of disease states, a compound which blocks the action of elastase will be useful in the management, **treatment** and prevention of such diseases. Elastase, in addition to degrading elastin, also will hydrolyse methoxysuccinyl-ala-ala-pro-val-nitroanalide (MSN), a highly selective synthetic. . .

SUMM Drug **treatment** is oral, once daily in 0.5 ml carboxymethyl cellulose from day 0 until sacrifice:

SUMM . . . Reilley, C. F., et al., J. Biol. Chem., 257, 8619 (1982) and angiotensinogen to angiotensin II, which is associated with **inflammatory** processes. Tonnesen, M. G., et al., J. Clin. Invest., 69, 25 (1982). Natural elastase inhibitors (macro molecules such as α1. . . al., J. Biol. Chem. 256, 10256 (1981). In a like manner, adult respiratory-distress syndrome, certain skin diseases, ageing, and certain **inflammatory** processes where the disease state is connected with the localized breakdown of protein by elastase could be **treated** by elastase inhibitors, such as the compounds of this invention. For example, degradation of fibronectin, an important biological substance, could. . . J. A., and D. G. Kelley, J. Biol. Chem., 255, 8848 (1980). The compounds may also be useful in the **treatment** of other enzyme related diseases, such as fibrosis related to prolylhydroxylase, hypercholesterolemia related to **HMG CoA** reductase, and the like. This invention is not limited to these examples. One skilled in the art could readily use. . .

SUMM . . . be introduced in the forms of eyedrops, intraperitoneally, subcutaneously, or intramuscularly using forms known to the pharmaceutical art. For the **treatment** of inflammatory skin diseases, the compounds of the present invention may also be administered topically in the form of ointments,. . .

SUMM An effective but non-toxic quantity of the compound is employed in **treatment**. The dosage regimen for elastase inhibition by the compounds of this invention is selected in accordance with a variety of. . .

DETD . . . 20 hours, the white solid was filtered under reduced pressure was washed well with diethyl ether. The dry solid was **treated** with 20% sodium hydroxide (75 ml). After stirring for 30 minutes the product was extracted into diethyl ether, and the. . .

L18 ANSWER 198 OF 199 USPATFULL
Full Text

ACCESSION NUMBER:	85:9175 USPATFULL
TITLE:	Protease inhibitors
INVENTOR(S):	Mueller, Richard A., Glencoe, IL, United States Partis, Richard A., Evanston, IL, United States
PATENT ASSIGNEE(S):	G. D. Searle & Co., Skokie, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4499295		19850212	
APPLICATION INFO.:	US 1983-492842		19830509	(6)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Killos, Paul J.
LEGAL REPRESENTATIVE:	McDonnell, John J.
NUMBER OF CLAIMS:	16
EXEMPLARY CLAIM:	1
LINE COUNT:	524

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to methods of preventing or reducing the degradation of elastin and other proteins and thereby preventing or retarding the disease states caused by said degradation by administering compounds of the formula: ##STR1## or their pharmacologically acceptable salts.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . broadest aspect relates to enzyme inhibitors. In one aspect, the invention relates to certain novel methods useful in preventing or **treating** disease states caused by the action of proteases and other enzymes on mammalian elastin and other proteins by administration of. . . elastase and cathepsin G. In other aspect, it relates to compounds of Formula I which are useful in preventing or **treating** disease states caused by the degradative action of proteases and other enzymes on mammalian elastin and other proteins.

SUMM . . . et al., New England Journal of Medicine, 306:900-909, (1982). By inhibiting elastase therefore it is possible to mediate, eliminate or **treat** a wide variety of disease conditions.

SUMM . . . and can react with good nucleophiles such as the thiol groups of glutathione and various proteins. During any long term **treatment** with these inhibitors, such non-specific alkylation could lead to the introduction of new antigenetic determinants and an autoimmune response and/or. . .

SUMM The **treatment** of certain disease states by inhibitors of elastase is known as described above. One compound useful in practicing the method. . .

SUMM . . . in a number of disease states, a compound which blocks the action of elastase will be useful in the management, **treatment** and prevention of such diseases. Elastase, in addition to degrading elastin, also will hydrolyse methoxysuccinyl-ala-ala-pro-val-nitroanalide (MSN), a highly selective synthetic. . .

SUMM Drug **treatment** was oral, once daily in 0.5 ml carboxymethyl cellulose from day 0 until sacrifice:

SUMM . . . synergistic process with cathepsin G. Cathepsin G also causes conversion of angiotensin I to angiotensin II which is associated with **inflammatory** processes, Reilley, C. F., et al., J. Biol. Chem., 257, 8619 (1982) and angiotensinogen to angiotensin II, Tonnesen, M. G.,. . . al., J. Biol. Chem. 256, 10256 (1981). In a like manner, adult respiratory-distress syndrome, certain skin diseases, aging, and certain **inflammatory** processes where the disease state is connected with the localized breakdown of protein by elastase could be **treated** by elastase inhibitors, such as the compounds of this invention. For example, degradation of fibronectin, an important biological substance, could. . . J. A., and D. G. Kelley, J. Biol. Chem., 255, 8848 (1980). The compounds may also be useful in the **treatment** of other enzyme related diseases, such as fibrosis related to prolylhydroxylase, hypercholesterolemia related to **HMG CoA** reductase, and the like. This invention is not limited to these examples as one skilled in the art could readily. . .

SUMM . . . be introduced in the forms of eyedrops, intraperitoneally, subcutaneously, or intramuscularly using forms known to the pharmaceutical art. For the **treatment** of inflammatory skin diseases, the compounds of the present invention may also be administered topically in the form of ointments,. . .

SUMM An effective but non-toxic quantity of the compound is employed in **treatment**. The dosage regimen for elastase inhibition by the compounds of this invention is selected in accordance with a variety of. . .

L18 ANSWER 199 OF 199 USPATFULL
Full Text

ACCESSION NUMBER:	84:50007 USPATFULL
TITLE:	Halogenated protease inhibitors
INVENTOR(S):	Mueller, Richard A., Glencoe, IL, United States Partis, Richard A., Evanston, IL, United States
PATENT ASSIGNEE(S):	G. D. Searle & Co., Skokie, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 4469885		19840904	
APPLICATION INFO.:	US 1983-492843		19830509	(6)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Killos, Paul J.
LEGAL REPRESENTATIVE:	McDonnell, John J.
NUMBER OF CLAIMS:	11
EXEMPLARY CLAIM:	1
LINE COUNT:	635

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to novel compounds for preventing or retarding the degradation of elastin or other proteins and therefore preventing or retarding the disease states caused by said degradation, of the formula: ##STR1## or its pharmacologically acceptable salts. The invention also relates to novel methods and intermediates for making the compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . aspect, relates to enzyme inhibitors. In particular, it relates to compounds of Formula I which are useful in preventing or **treating** disease states caused by the action of proteases and other enzymes on mammalian elastin or other proteins. More particularly, the invention relates to certain novel compounds useful in preventing or **treating** disease states caused by the degradative action of elastases or cathepsin G. In another aspect, it relates to novel intermediates. . .

SUMM . . . al., New England Journal of Medicine, 306: 900-909, (1982). By inhibiting elastase therefore it is possible to mediate, eliminate or **treat** a wide variey of disease conditions.

SUMM . . . and can react with good nucleophiles such as the thiol groups of glutathione and various proteins. During any long term **treatment** with these inhibitors, such non-specific alkylation could lead to the introduction of new antigenetic determinants and an autoimmune response and/or. . .

SUMM The **treatment** of certain disease states by inhibitors of elastase is known as described above.

SUMM . . . in a number of disease states, a compound which blocks the action of elastase will be useful in the management, **treatment** and prevention of such diseases. Elastase, in addition to degrading elastin, also will hydrolyse methoxysuccinyl-ala-ala-pro-val-nitroanalide (MSN), a highly selective synthetic. . .

SUMM Drug **treatment** is oral, once daily in 0.5 ml carboxymethyl cellulose from day 0 until sacrifice:

SUMM . . . Reilley, C. F., et al., J. Biol. Chem., 257, 8619 (1982) and angiotensinogen to angiotensin II, which is associated with **inflammatory** processes. Tonnesen, M. G., et al., J. Clin. Invest., 69, 25 (1982). Natural elastase inhibitors (macro molecules such as α1. . . al., J. Biol. Chem. 256, 10256 (1981). In a like manner, adult respiratory-distress syndrome, certain skin diseases, ageing, and certain **inflammatory** processes where the disease state is connected with the localized breakdown of protein by elastase could be **treated** by elastase inhibitors, such as the compounds of this invention. For example, degradation of fibronectin, an important biological substance, could. . . J. A., and D. G. Kelley, J. Biol. Chem., 255, 8848 (1980). The compounds may also be useful in the **treatment** of other enzyme related diseases, such as fibrosis related to prolylhydroxylase, hypercholesterolemia related to **HMG CoA** reductase, and the like. This invention is not limited to these examples. One skilled in the art could readily use. . .

SUMM . . . be introduced in the forms of eyedrops, intraperitoneally, subcutaneously, or intramuscularly using forms known to the pharmaceutical art. For the **treatment** of inflammatory skin diseases,

the compounds of the present invention may also be administered topically in the form of ointments,. . .

SUMM An effective but non-toxic quantity of the compound is employed in **treatment**. The dosage regimen for elastase inhibition by the compounds of this invention is selected in accordance with a variety of. . .

DETD . . . 20 hours, the white solid was filtered under reduced pressure and washed well with diethyl ether. The dry solid was **treated** with 20% sodium hydroxide (75 ml). After stirring for 30 minutes the product was extracted into diethyl ether, and the. . .

☐ 1: Transplant Proc 1999 May;31(3B Suppl):22S-24S Related Articles, Books, LinkOut

Immunosuppressive and antiproliferative effects of HMG-CoA reductase inhibitors.

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Publication Types:

- Review
- Review, Tutorial

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